1. A compound of the formula [I]:

$$\begin{array}{c|c}
 & \text{H}_{3}C \\
 & \text{C} \\
 & \text{R}_{5}
\end{array}$$

$$\begin{array}{c|c}
 & \text{N} \\
 & \text{C} \\
 & \text{COO} \\
\end{array}$$

$$\begin{array}{c|c}
 & \text{CH}_{2} \\
 & \text{N} \\
\end{array}$$

$$\begin{array}{c|c}
 & \text{R}_{4}
\end{array}$$

$$\begin{array}{c|c}
 & \text{R}_{4}
\end{array}$$

$$\begin{array}{c|c}
 & \text{R}_{4}
\end{array}$$

$$\begin{array}{c|c}
 & \text{R}_{5}
\end{array}$$

$$\begin{array}{c|c}
 & \text{R}_{5}
\end{array}$$

$$\begin{array}{c|c}
 & \text{R}_{1}
\end{array}$$

$$\begin{array}{c|c}
 & \text{R}_{2}
\end{array}$$

wherein

5 R¹ is lower alkyl, hydroxy(lower)alkyl or halo(lower)alkyl, and

R² is hydrogen or amino protecting group, or

R¹ and R² are bonded together and form lower alkylene or lower alkenylene;

10 R^3 is hydrogen or lower alkyl; R^4 is

$$-N^{-1}(A)_{k}(NH)_{m}(O)_{n}(CH)_{q}(CH_{2})_{p}^{-1}R^{9}$$

wherein

Ais

15

wherein X is O or NH,

R⁷ is hydrogen, lower alkyl or amino protecting group,

R8 is hydrogen or hydroxy,

20

R⁹ is amino, mono or di(lower)alkylamino, protected amino, guanidino, protected guanidino or saturated 3- to 8-membered heterocyclic group containing 1 to 4 nitrogen atoms optionally substituted by amino or protected amino,

25

k, m, n and q are independently 0 or 1, and

p is 0, 1, 2 or 3; .

R⁵ is carboxy or protected carboxy; and

R⁶ is amino or protected amino,

or a pharmaceutically acceptable salt thereof.

5

35

2. The compound of claim 1 wherein

R1 is lower alkyl or hydroxy(lower)alkyl, and

R² is hydrogen or amino protecting group, or

R¹ and R² are bonded together and form lower alkylene;

10 R³ is hydrogen;

A is



wherein X is O or NH;

R⁷ is hydrogen or amino protecting group;

15 R⁹ is amino or protected amino; and

p is 0, 1 or 2,

or a pharmaceutically acceptable salt thereof.

- 3. The compound of claim 2 wherein R⁸ is hydrogen, or a pharmaceutically acceptable salt thereof.
 - 4. The compound of claim 1 wherein

R¹ is lower alkyl, hydroxy(lower)alkyl or halo(lower)alkyl, and

25 R² is hydrogen, aryl(lower)alkyl or acyl, or

 ${\ensuremath{R}}^1$ and ${\ensuremath{R}}^2$ are bonded together and form lower alkylene or lower alkenylene;

R⁵ is carboxy or esterified carboxy;

R⁶ is amino or acylamino;

30 R⁷ is hydrogen, lower alkyl or acyl; and

R⁹ is amino, mono or di(lower)alkylamino, acylamino, guanidino, acylguanidino or saturated 3- to 8-membered heterocyclic group containing 1 to 4 nitrogen atoms optionally substituted by amino or acylamino,

or a pharmaceutically acceptable salt thereof.

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The compound of claim 4 wherein
     5.
     R1 is lower alkyl or hydroxy(lower)alkyl, and
     R<sup>2</sup> is hydrogen, aryl(lower)alkyl or acyl, or
     R1 and R2 are bonded together and form lower alkylene;
     R<sup>5</sup> is carboxy or esterified carboxy;
     R<sup>6</sup> is amino or acylamino;
     R<sup>7</sup> is hydrogen or acyl; and
     R9 is amino or acylamino,
     or a pharmaceutically acceptable salt thereof.
10
          The compound of claim 5 wherein
     R1 is lower alkyl or hydroxy(lower)alkyl, and
     R<sup>2</sup> is hydrogen, aryl(lower)alkyl, lower alkanoyl or
            lower alkoxycarbonyl, or
     R<sup>1</sup> and R<sup>2</sup> are bonded together and form lower alkylene;
     R<sup>5</sup> is carboxy or lower alkoxycarbonyl;
     R<sup>6</sup> is amino, lower alkanoylamino or lower
            alkoxycarbonylamino;
     R<sup>7</sup> is hydrogen, lower alkanoyl or lower alkoxycarbonyl;
20
   and
     R9 is amino, lower alkanoylamino or lower
            alkoxycarbonylamino,
     or a pharmaceutically acceptable salt thereof.
25 7. The compound of claim 6 wherein
   R<sup>1</sup> is lower alkyl or hydroxy(lower)alkyl, and
     R<sup>2</sup> is hydrogen, or
   {\ensuremath{R}}^1 and {\ensuremath{R}}^2 are bonded together and form lower alkylene;
   R<sup>5</sup> is carboxy;
   R<sup>6</sup> is amino;
30
    R<sup>7</sup> is hydrogen or lower alkanoyl; and
    R<sup>9</sup> is amino,
    or a pharmaceutically acceptable salt thereof.
35
          The compound of claim 1 wherein
    {\ensuremath{\mathsf{R}}}^4 is selected from the group consisting of
```

 $-NH-A-(NH)_{\overline{m}}(CH_2)_{\overline{q}}(CH_2)_{\overline{p}}-R^{14}$

$$-NH - C - (NH)_{\overline{m}} O - (CH_{2})_{\overline{q}} (CH_{2})_{p} - R^{14}$$

$$-NH - C - CH - (CH_{2})_{p} - R^{14}$$

$$-N - (CH_{2})_{\overline{q}} (CH_{2})_{\overline{p}} - R^{14}$$

$$-NH - C - (NH)_{\overline{m}} (CH_{2})_{\overline{q}} (CH_{2})_{p} - R^{15}$$
 and
$$-NH - C - (NH)_{\overline{m}} R^{16}$$

wherein R^7 , A, m, p and q are each as defined in claim 1, R^{14} is amino, mono or di(lower)alkylamino or protected amino,

R¹⁵ is guanidino or protected guanidino, and
10 R¹⁶ is saturated 3- to 8-membered heterocyclic group containing 1 to 4 nitrogen atoms optionally substituted by amino or protected amino, or a pharmaceutically acceptable salt thereof.

9. The compound of claim 1 wherein R^4 is selected from the group consisting of

$$-NH - C - NH - (CH2)_{\overline{q}} (CH2)_{p} - R^{9}$$

$$-NH - C - (CH2)_{\overline{q}} (CH2)_{p} - R^{9}$$

$$-NH - C - NH - O - (CH2)_{\overline{q}} (CH2)_{p} - R^{9}$$

$$-NH - C - O - (CH2)_{\overline{q}} (CH2)_{p} - R^{9}$$

$$-NH-C-CH-(CH_2)_p-R^9$$

$$-NH - C - (CH2) - R9$$
 and

$$\begin{array}{c|c}
R^7 \\
 & \\
-N - (CH_2) \overline{q} - (CH_2) \overline{p} - R^9
\end{array}$$

wherein

5 p is 0, 1 or 2,

q is 0 or 1,

 R^7 is hydrogen or amino protecting group, and

R⁹ is amino or protected amino,

or a pharmaceutically acceptable salt thereof.

10

15

10. The compound of claim 9 wherein

 ${\ensuremath{\mathsf{R}}}^{7}$ is hydrogen, lower alkanoyl or lower alkoxycarbonyl; and

R⁹ is amino, lower alkanoylamino or lower alkoxycarbonylamino,

or a pharmaceutically acceptable salt thereof.

11. The compound of claim 10 wherein

R⁷ is hydrogen or lower alkanoyl; and

20 R⁹ is amino,

or a pharmaceutically acceptable salt thereof.

12. A process for preparing a compound of the formula [I]:

$$\begin{array}{c|c}
 & H_3C \\
 & CH_3 \\
 & R^5 \\
 & N \\
 & C-CONH
 & CH_2 \\
 & N \\
 & R^4
\end{array}$$

$$\begin{array}{c|c}
 & R^4 \\
 & R^3$$
[1]

wherein

R¹ is lower alkyl, hydroxy(lower)alkyl or halo(lower)alkyl, and

R² is hydrogen or amino protecting group, or

5 R¹ and R² are bonded together and form lower alkylene or lower alkenylene;

R³ is hydrogen or lower alkyl;

R4 is

15

20

$$-N - (A)_{k} - (NH)_{m} - (O)_{n} - (CH_{2})_{p} - R^{9}$$

wherein

Ais

wherein X is O or NH,

R⁷ is hydrogen, lower alkyl or amino protecting group,

R⁸ is hydrogen or hydroxy,

R⁹ is amino, mono or di(lower)alkylamino, protected amino, guanidino, protected guanidino or saturated 3- to 8-membered heterocyclic group containing 1 to 4 nitrogen atoms optionally substituted by amino or protected amino,

k, m, n and q are independently 0 or 1, and p is 0, 1, 2 or 3;

R⁵ is carboxy or protected carboxy; and R⁶ is amino or protected amino, or a salt thereof, which comprises

(1) reacting a compound of the formula [II]:

wherein R^1 , R^2 , R^3 and R^4 are each as defined above, or its reactive derivative at the amino group, or a salt thereof with a compound of the formula [III]:

wherein R^5 and R^6 are each as defined above, or its reactive derivative at the carboxy group, or a salt thereof to give a compound of the formula [I]:

$$\begin{array}{c|c}
 & H_3C \\
 & CH_3 \\
 & R_5 \\
 & N \\
 & C-CONH
 & CH_2 \\
 & N \\
 & R_1 \\
 & R_2
\end{array}$$
[I]

10

wherein R^1 , R^2 , R^3 , R^4 , R^5 and R^6 are each as defined above, or a salt thereof, or

(2) subjecting a compound of the formula [Ia]:

$$\begin{array}{c|c}
 & H_3C \\
 & CH_3 \\
 & N \\
 & R^5
\end{array}$$

$$\begin{array}{c|c}
 & R^7 \\
 & N \\
 & C \\
 & N \\
 & C \\
 & N \\
 & COO^{\Theta}
\end{array}$$

$$\begin{array}{c|c}
 & R^7 \\
 & N \\$$

wherein R¹, R², R³, R⁵, R⁶, R⁷, R⁸, A, k, m, n, p and q are each as defined above, and R⁹a is protected amino, protected guanidino or saturated 3- to 8-membered heterocyclic group containing 1 to 4 nitrogen atoms substituted by protected amino, or a salt thereof to elimination reaction of the amino protecting group to give a compound of the formula [Ib]:

- wherein R¹, R², R³, R⁵, R⁶, R⁷, R⁸, A, k, m, n, p and q are each as defined above, and R⁹b is amino, guanidino or saturated 3- to 8-membered heterocyclic group containing 1 to 4 nitrogen atoms substituted by amino, or a salt thereof, or
- 15 (3) reacting a compound of the formula [VI]:

20

$$\begin{array}{c|c}
 & H_3C \\
 & CH_3 \\
 & R^5 \\
 & N \\
 & R^6 \\
 & S \\
 & N \\
 & C \\
 & CONH \\
 & C \\$$

wherein R^5 and R^6 are each as defined above, R^{10} is protected carboxy, and Y is a leaving group, or a salt thereof with a compound of the formula [VII]:

$$\begin{array}{c|c}
 & R^4 \\
 & R^3 \\
 & R^1 \\
 & R^2
\end{array}$$
[VII]

wherein R^1 , R^2 , R^3 and R^4 are each as defined above, or a salt thereof to give a compound of the formula [VIII]:

$$\begin{array}{c} H_{3}C \searrow_{R^{5}}^{CH_{3}} \\ \downarrow \\ N \longrightarrow_{C-CONH} \longrightarrow_{N} \\ \downarrow \\ R^{6} \longrightarrow_{S} N \end{array} \xrightarrow{C} \begin{array}{c} CH_{2} \longrightarrow_{N} \\ \downarrow \\ R^{10} \longrightarrow_{R^{1}} \end{array} \xrightarrow{R^{4}} \begin{array}{c} R^{4} \\ \downarrow \\ R^{1} \longrightarrow_{R^{2}} \end{array} \xrightarrow{R^{3}} \begin{array}{c} Z \\ \downarrow \\ \downarrow \\ \downarrow \\ R^{1} \longrightarrow_{R^{2}} \end{array}$$

wherein R^1 , R^2 , R^3 , R^4 , R^5 , R^6 and R^{10} are each as defined above, and Z^{\bigcirc} is an anion, or a salt thereof, and subjecting the compound of the formula [VIII] or a salt thereof to elimination reaction of the carboxy protecting group, to give a compound of the formula [I]:

wherein R^1 , R^2 , R^3 , R^4 , R^5 and R^6 are each as defined above, or a salt thereof.

- 13. A pharmaceutical composition comprising a compound of claim 1 or a pharmaceutically acceptable salt thereof in admixture with a pharmaceutically acceptable carrier.
- 15 14. A compound of claim 1 or a pharmaceutically acceptable salt thereof for use as a medicament.

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- 15. A compound of claim 1 or a pharmaceutically acceptable salt thereof for use as an antimicrobial agent.
 - 16. Use of a compound of claim 1 or a pharmaceutically acceptable salt thereof for manufacture of a medicament for treating infectious diseases.

17. A method for the treatment of infectious diseases which comprising administering a compound of claim 1 or a pharmaceutically acceptable salt thereof to human or animals.